U.S. DEPARTMENT OF COMMERCE
Patent and Trademark Office

SEARCH REQUEST FORM

8-753

Requestor's Name: Delacroix

Serial Number: 08/837,042

Date: 8/25/95 Phone: 306-3227 Art Unit: 1654
1803

Search Topic:

Please write a detailed statement of search topic. Describe specifically as possible the subject matter to be searched. Define any terms that may have a special meaning. Give examples or relevent citations, authors, keywords, etc., if known. For sequences, please attach a copy of the sequence. You may include a copy of the broadest and/or most relevent claim(s).

25 AUG 95 15:31

STAFF USE ONLY

Date completed: 8/25/95

Searcher: [illegible]

Terminal time: [illegible]

Elapsed time:

CPU time:

Total time: [illegible]

Number of Searches: 1 + 2 + 6

Number of Databases: 3

Search Site

- ____ STIC
- ✓ CM-1
- ____ Pre-S

Type of Search

- ____ N.A. Sequence
- ____ A.A. Sequence
- ✓ Structure
- ____ Bibliographic

Vendors

- ____ IG
- ✓ STN
- ____ Dialog
- ____ APS
- ____ Geninfo
- ____ SDC
- ____ DARC/Questel
- ____ Other

PTO-1590 (9-90)

=> fil reg

FILE 'REGISTRY' ENTERED AT 14:16:22 ON 25 AUG 1998
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 21 AUG 98 HIGHEST RN 210281-59-7
DICTIONARY FILE UPDATES: 24 AUG 98 HIGHEST RN 210281-59-7

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 14, 1998

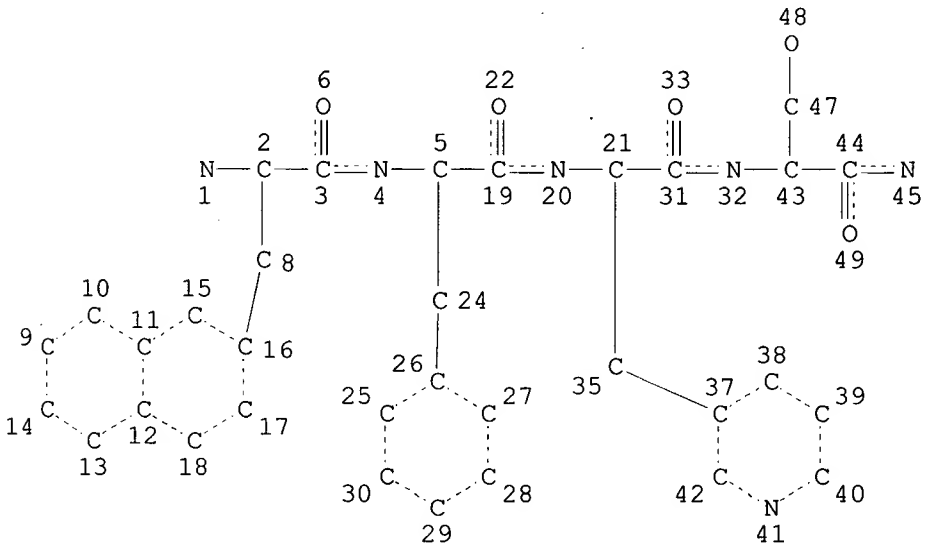
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Stereochemical name changes have been adopted and appear in CN's beginning 6/29/30. See the online news message for details.

** Notice ** If you recently ran a CSS search involving an AK-carbon connection, please enter NEWS at an arrow prompt for a message containing important details.

=> d stat que l34

L27 STR



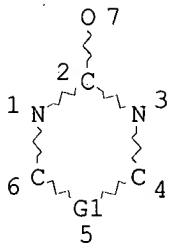
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
L29 1864 SEA FILE=REGISTRY SSS FUL L27
L31 STR

- "Core" structure

- Open



- subset
- must be present, anywhere
- open

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REP G1=(0-1) C
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CONNECT IS E1  RC AT   7
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS   7

STEREO ATTRIBUTES: NONE
L33          13 SEA FILE=REGISTRY SUB=L29 SSS FUL L31
L34          11 SEA FILE=REGISTRY ABB=ON  PLU=ON  L33 NOT NCNC2-SC4/ES

=> d his l34-


    (FILE 'REGISTRY' ENTERED AT 13:54:53 ON 25 AUG 1998)
                SAV L33 DELAC837A/A
L34          11 S L33 NOT NCNC2-SC4/ES

     FILE 'HCAOLD' ENTERED AT 14:16:01 ON 25 AUG 1998
L35           0 S L34

     FILE 'HCAPLUS' ENTERED AT 14:16:06 ON 25 AUG 1998
L36           3 S L34                                    <- 3 references

     FILE 'USPATFULL' ENTERED AT 14:16:08 ON 25 AUG 1998
L37           0 S L34

     FILE 'REGISTRY' ENTERED AT 14:16:22 ON 25 AUG 1998

=> d l34 tot sqide can


L34  ANSWER 1 OF 11  REGISTRY  COPYRIGHT 1998 ACS
RN   191611-38-8  REGISTRY
CN   D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-
     phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(2-oxo-4-
     imidazolidinecarbonyl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl-,
     4,4'-methylenebis[3-hydroxy-2-naphthalenecarboxylate] (1:1) (9CI)
     (CA INDEX NAME)
OTHER CA INDEX NAMES:
CN   2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy-, compd.
     with N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-
     (3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(2-oxo-4-
     imidazolidinecarbonyl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl-D-
```

alaninamide (1:1) (9CI)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified (modifications unspecified)

type	------ location ------		description
stereo	Lys-6	-	D
stereo	Ala-10	-	D

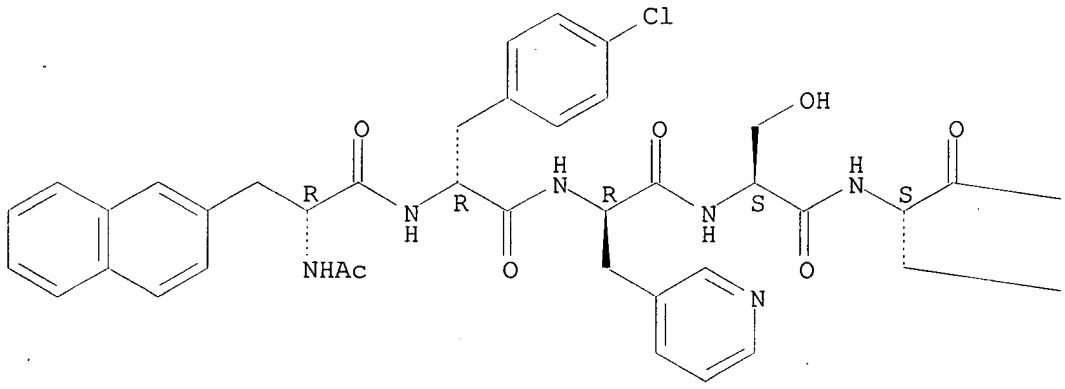
SEQ 1 AFASYKLRPA
MF C74 H97 Cl N18 O15 . C23 H16 O6
SR CA
LC STN Files: CA, CAPLUS

CM 1

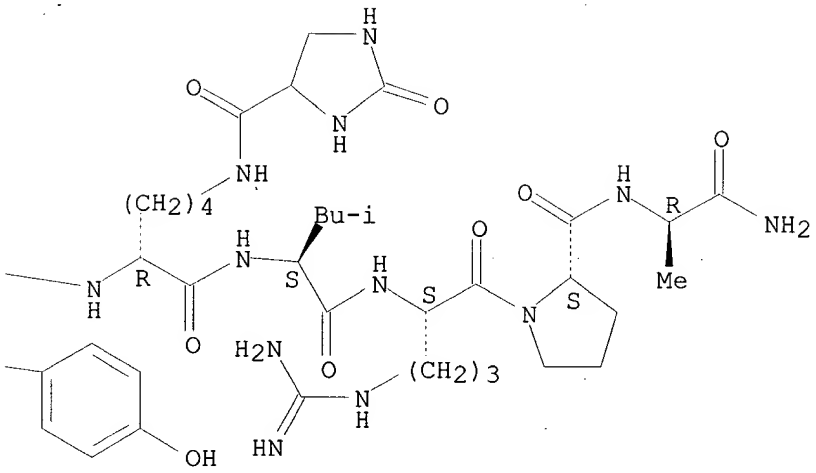
CRN 191611-36-6
CMF C74 H97 Cl N18 O15

Absolute stereochemistry.

PAGE 1-A

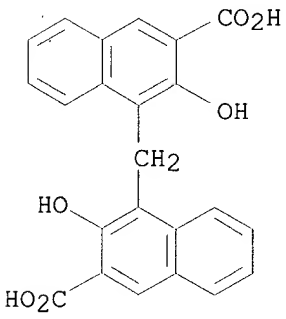


PAGE 1-B



CM 2

CRN 130-85-8
CMF C23 H16 O6



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:66227

L34 ANSWER 2 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 191611-36-6 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-(2-oxo-4-imidazolidinecarbonyl)-D-lysyl-L-leucyl-L-arginyl-L-prolyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified (modifications unspecified)

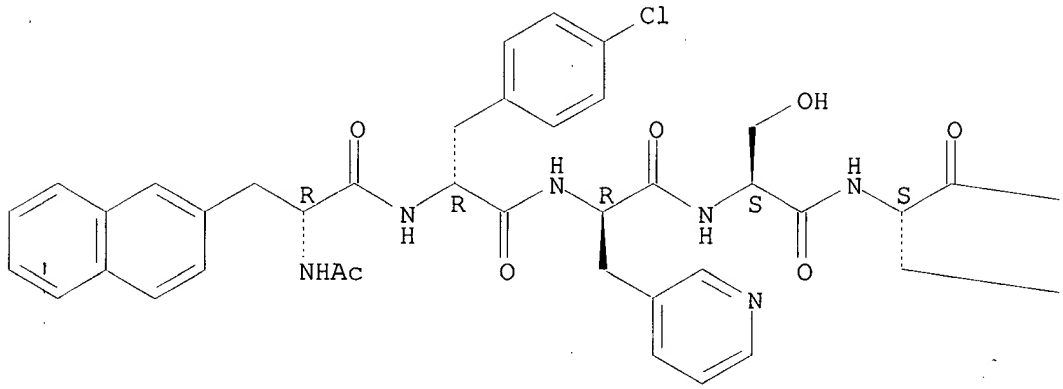
type	------ location ------	description

stereo	Lys-6	-	D
stereo	Ala-10	-	D

SEQ 1 AFASYKLRPA
MF C74 H97 Cl N18 O15
CI COM
SR CA
LC STN Files: CA, CAPLUS

Absolute stereochemistry.

PAGE 1-A



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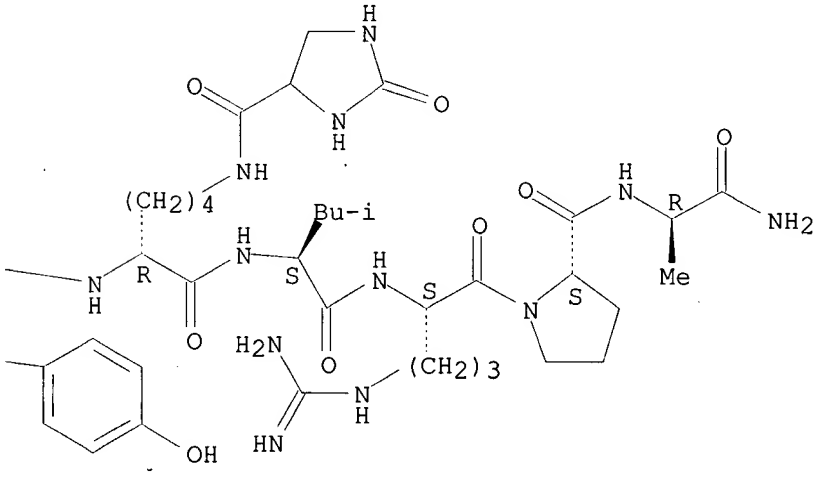


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 127:66227

L34 ANSWER 3 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 186837-14-9 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified

type	------ location ------		description
terminal mod.	Ala-1	-	N-acetyl
terminal mod.	Ala-10	-	C-terminal amide
modification	-	-	undetermined modification
modification	Ala-1	-	2-naphthalenyl<2-Naph>
modification	Phe-2	-	chloro<Cl>
modification	Ala-3	-	3-pyridinyl<3Py>
modification	Lys-6	-	undetermined modification
modification	Lys-8	-	1-methylethyl<i-Pr>

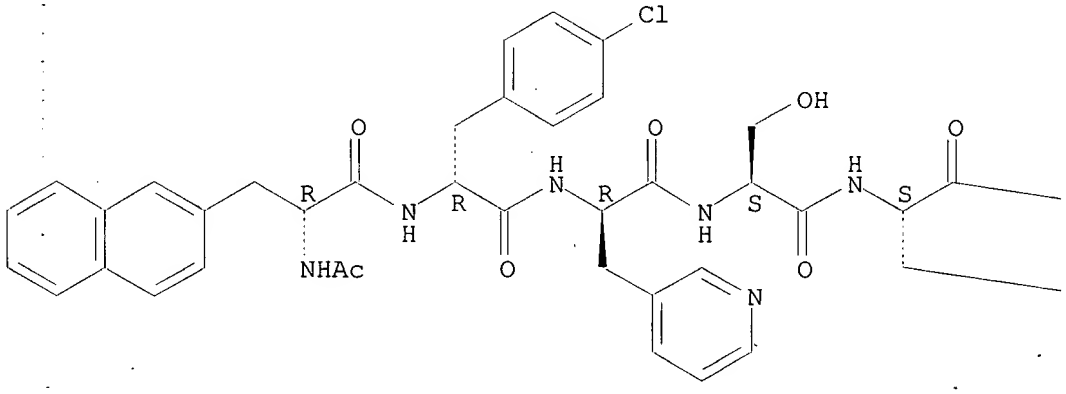
SEQ 1 AFASYKLKPA
MF C78 H101 Cl N16 O16 . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

CM 1

CRN 186837-13-8
CMF C78 H101 Cl N16 O16

Absolute stereochemistry.

PAGE 1-A



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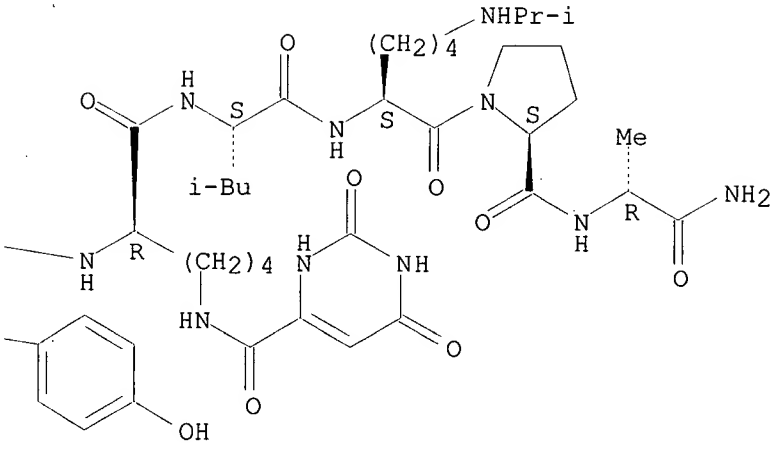
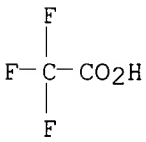


CM 2

CRN 76-05-1
CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 126:152828

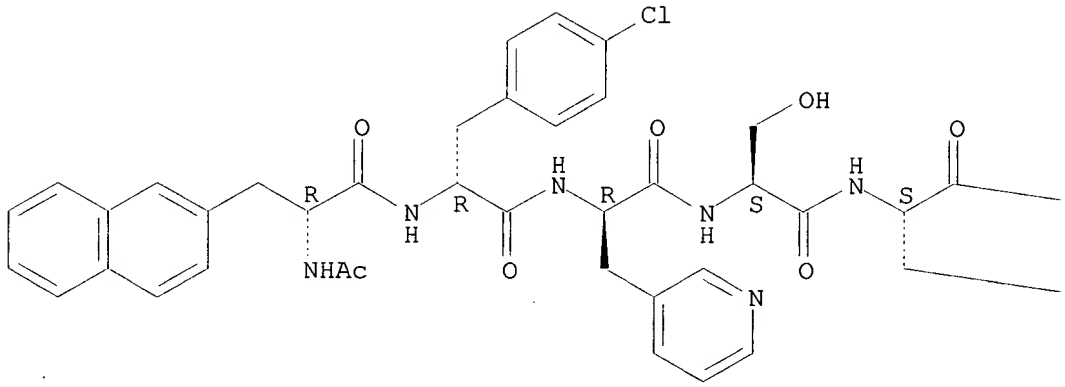
L34 ANSWER 4 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 186837-13-8 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-L-tyrosyl-N6-[(1,2,3,6-tetrahydro-2,6-dioxo-4-pyrimidinyl)carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified

type	------ location ------		description
terminal mod.	Ala-1	-	N-acetyl
terminal mod.	Ala-10	-	C-terminal amide
modification	Ala-1	-	2-naphthalenyl<2-Naph>
modification	Phe-2	-	chloro<Cl>
modification	Ala-3	-	3-pyridinyl<3Py>
modification	Lys-6	-	undetermined modification
modification	Lys-8	-	1-methylethyl<i-Pr>

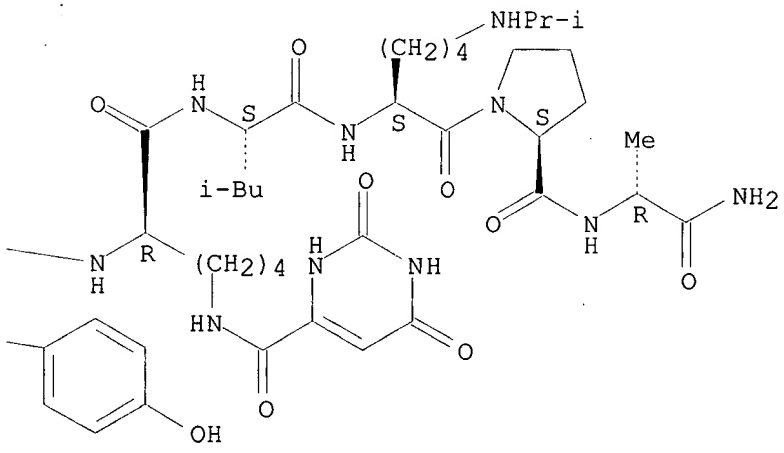
SEQ 1 AFASYKLKPA
MF C78 H101 Cl N16 O16
CI COM
SR CA

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



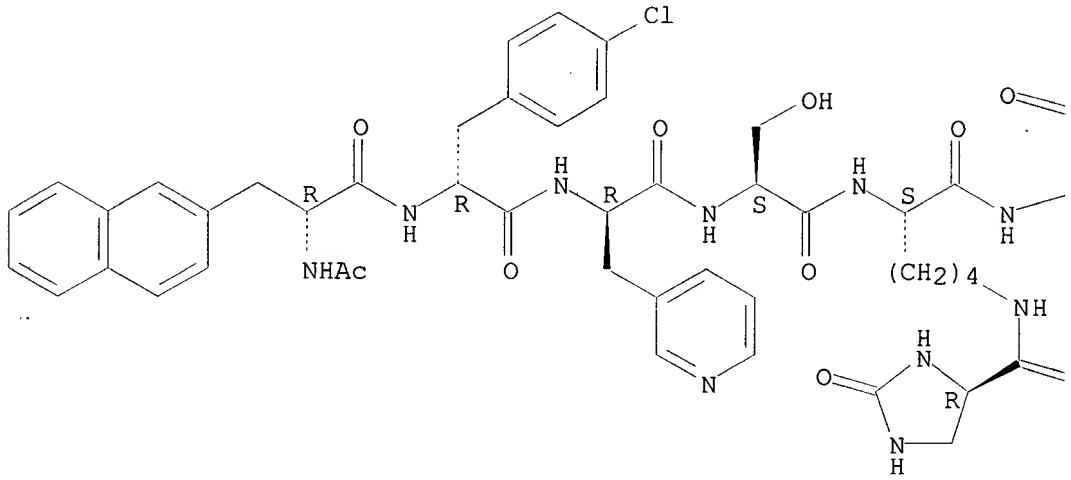
L34 ANSWER 5 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 164457-56-1 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-[(2-oxo-4-imidazolidinyl)carbonyl]-L-lysyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, (R)- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified (modifications unspecified)

SEQ 1 AFASKKLKPA
MF C80 H109 Cl N18 O15
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



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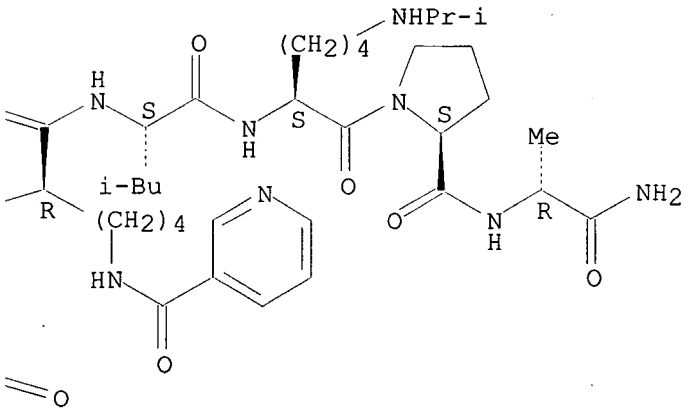


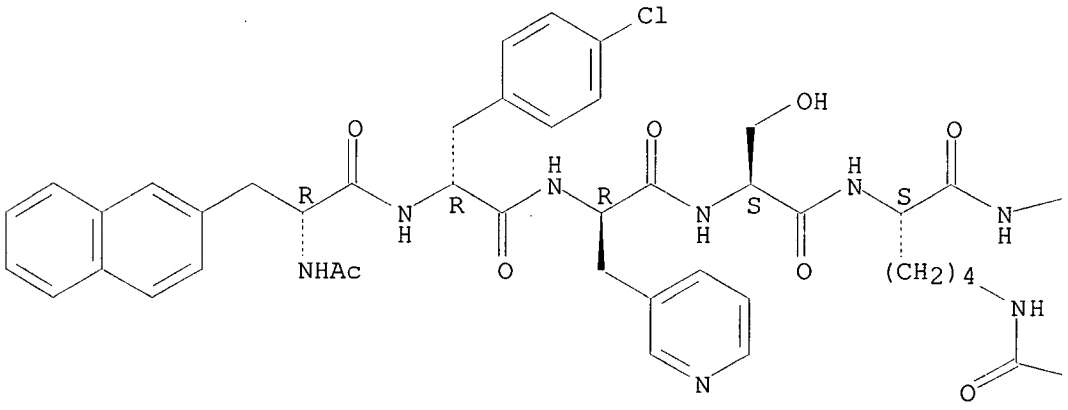
1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

L34 ANSWER 6 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 164361-58-4 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-(3-pyridinylcarbonyl)-L-lysyl-N6-[(2-oxo-4-imidazolidinyl)carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl-, (R)- (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C80 H109 Cl N18 O15
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



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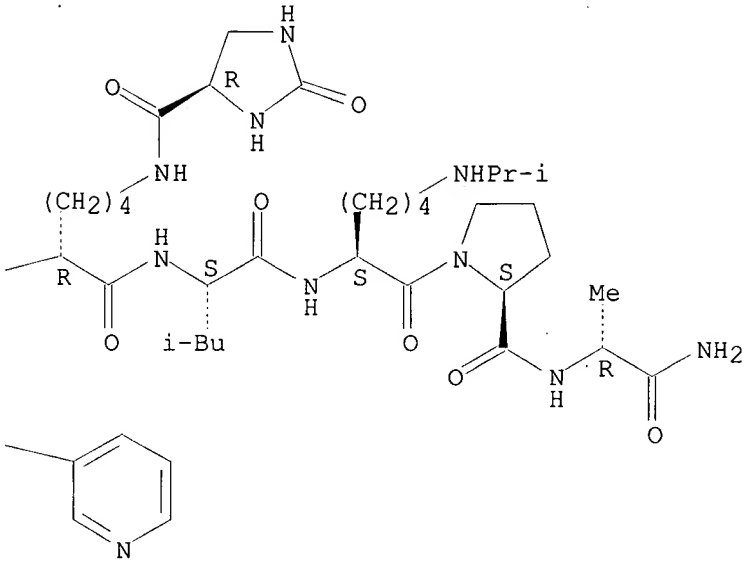

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

L34 ANSWER 7 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 164361-57-3 REGISTRY
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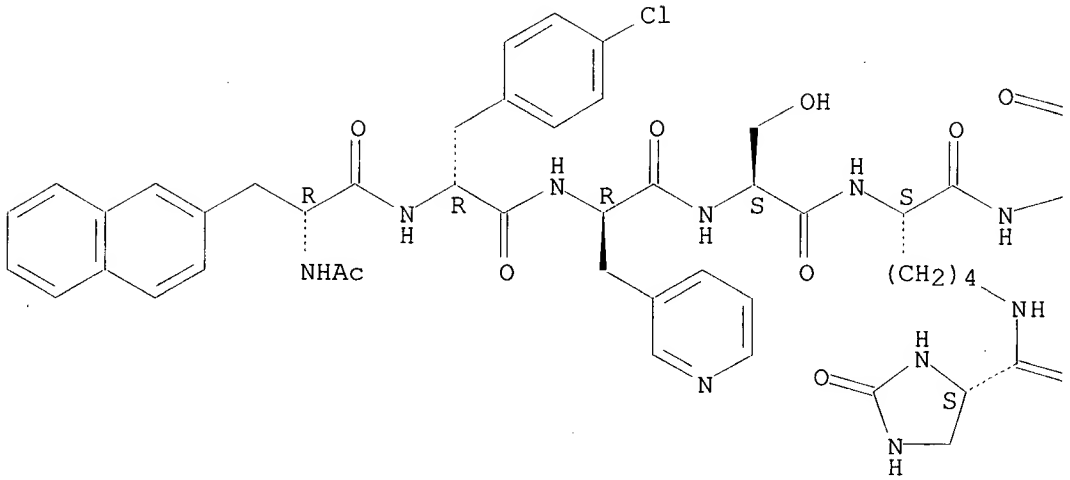
FS STEREOSEARCH
MF C80 H109 Cl N18 O15
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



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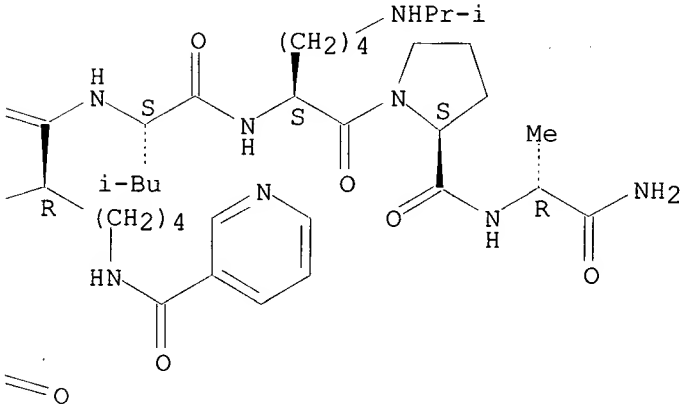

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

L34 ANSWER 8 OF 11 REGISTRY COPYRIGHT 1998 ACS
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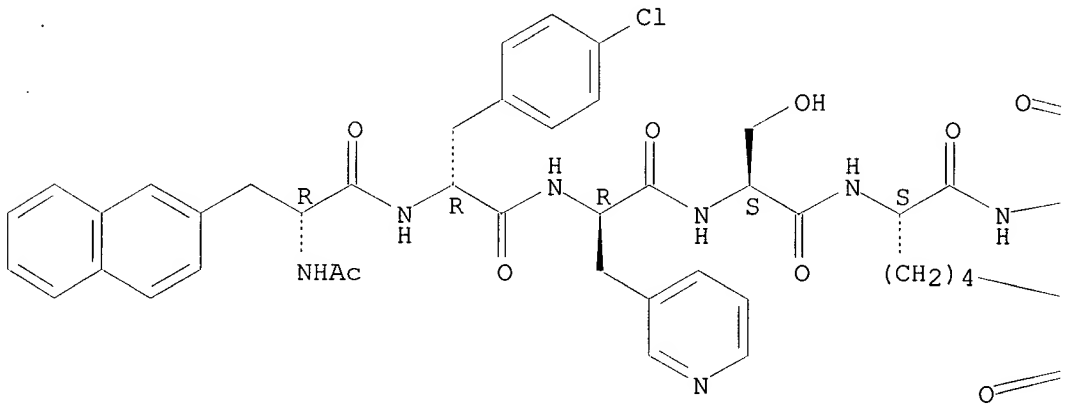
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified

type	location	description
terminal mod.	Ala-1 -	N-acetyl
terminal mod.	Ala-10 -	C-terminal amide
modification	Ala-1 -	2-naphthalenyl<2-Naph>
modification	Phe-2 -	chloro<Cl>
modification	Ala-3 -	3-pyridinyl<3Py>
modification	Lys-5 -	3-pyridinylcarbonyl
modification	Lys-6 -	undetermined modification
modification	Lys-8 -	1-methylethyl<i-Pr>

SEQ 1 AFASKKLKPA
MF C81 H107 Cl N18 O16
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



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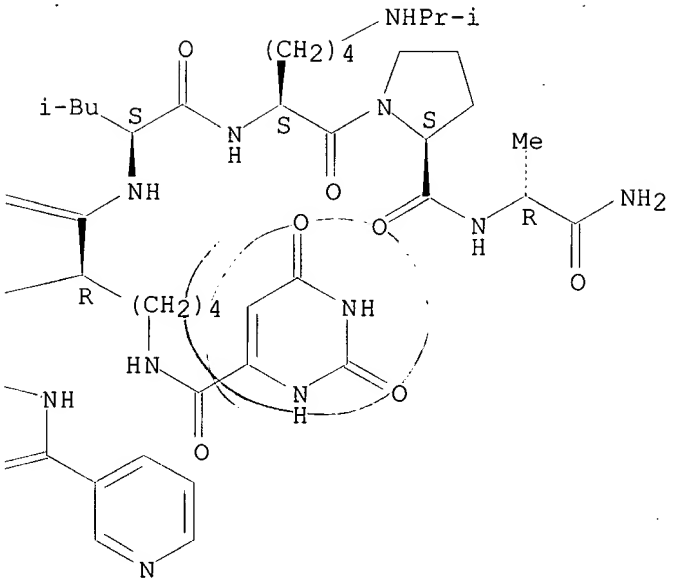

1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

L34 ANSWER 9 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 164361-34-6 REGISTRY
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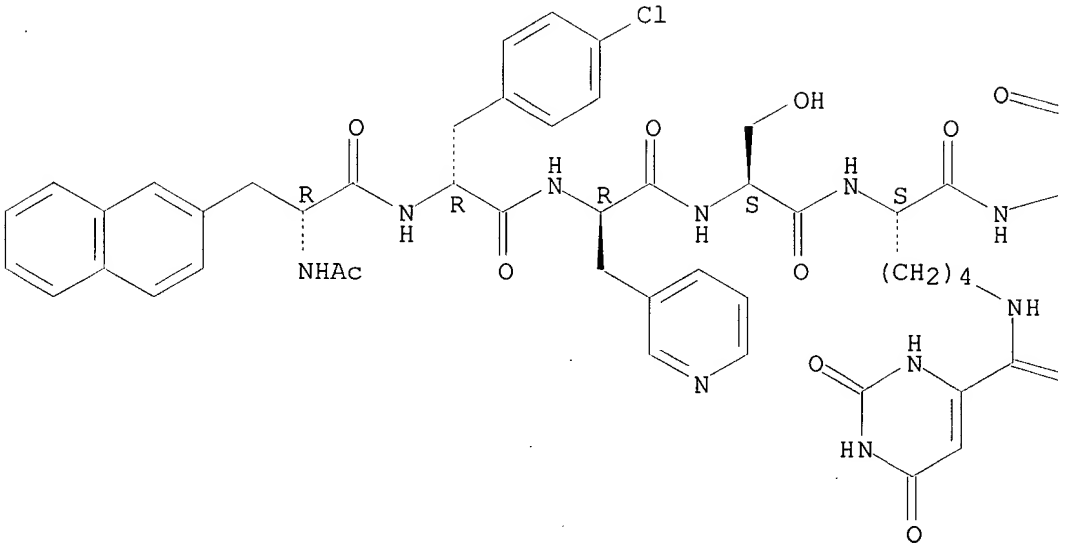
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified

type	------ location ------		description
terminal mod.	Ala-1	-	N-acetyl
terminal mod.	Ala-10	-	C-terminal amide
modification	Ala-1	-	2-naphthalenyl<2-Naph>
modification	Phe-2	-	chloro<Cl>
modification	Ala-3	-	3-pyridinyl<3Py>
modification	Lys-5	-	undetermined modification
modification	Lys-6	-	3-pyridinylcarbonyl
modification	Lys-8	-	1-methylethyl<i-Pr>

SEQ 1 AFASKKLKPA
MF C81 H107 Cl N18 O16
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



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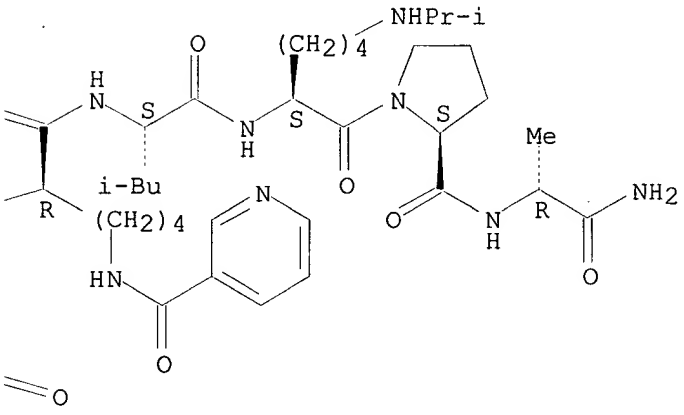


1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

L34 ANSWER 10 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 164361-33-5 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-(3-pyridinylcarbonyl)-L-lysyl-N6-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)

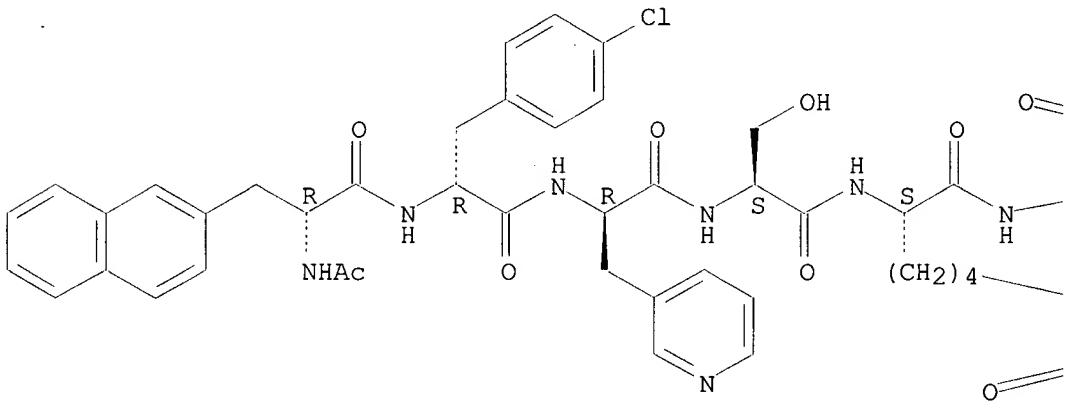
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified

type	location		description
terminal mod.	Ala-1	-	N-acetyl
terminal mod.	Ala-10	-	C-terminal amide
modification	Ala-1	-	2-naphthalenyl<2-Naph>
modification	Phe-2	-	chloro<Cl>
modification	Ala-3	-	3-pyridinyl<3Py>
modification	Lys-5	-	3-pyridinylcarbonyl
modification	Lys-6	-	undetermined modification
modification	Lys-8	-	1-methylethyl<i-Pr>

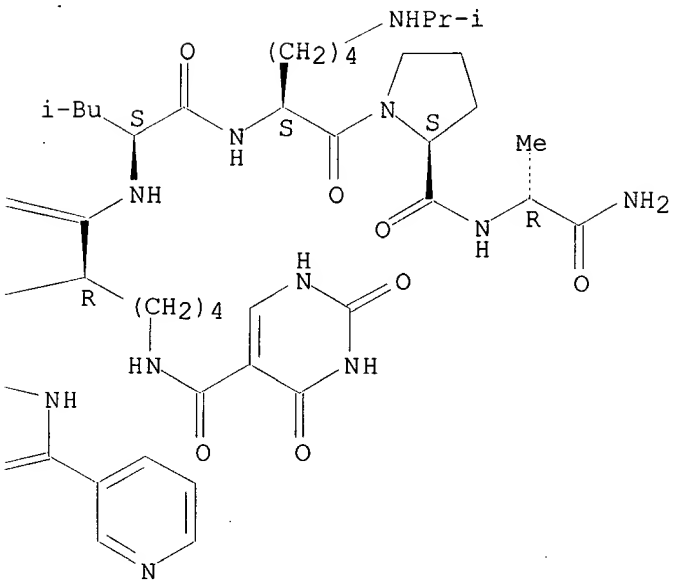
SEQ 1 AFASKKLKPA
MF C81 H107 Cl N18 O16
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

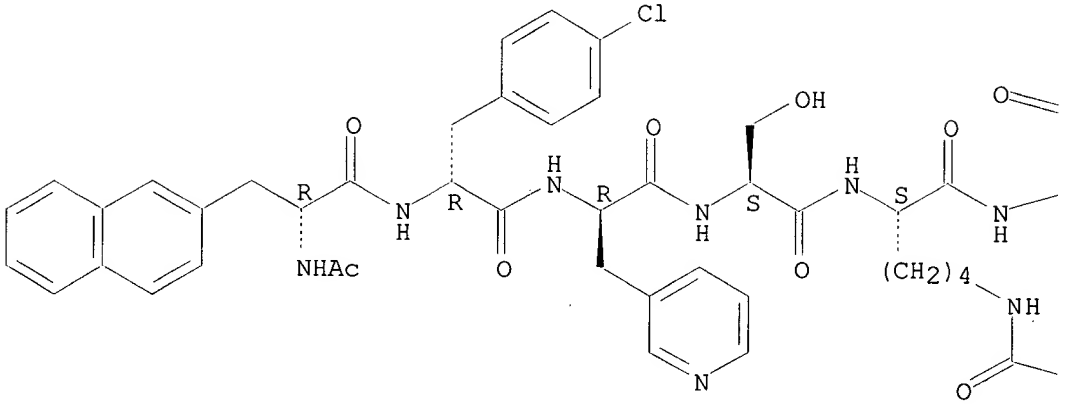
L34 ANSWER 11 OF 11 REGISTRY COPYRIGHT 1998 ACS
RN 164361-32-4 REGISTRY
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N6-[(1,2,3,4-tetrahydro-2,4-dioxo-5-pyrimidinyl)carbonyl]-L-lysyl-N6-(3-pyridinylcarbonyl)-D-lysyl-L-leucyl-N6-(1-methylethyl)-L-lysyl-L-prolyl- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 10
NTE modified

type	------ location ------		description
terminal mod.	Ala-1	-	N-acetyl
terminal mod.	Ala-10	-	C-terminal amide
modification	Ala-1	-	2-naphthalenyl<2-Naph>
modification	Phe-2	-	chloro<Cl>
modification	Ala-3	-	3-pyridinyl<3Py>
modification	Lys-5	-	undetermined modification
modification	Lys-6	-	3-pyridinylcarbonyl
modification	Lys-8	-	1-methylethyl<i-Pr>

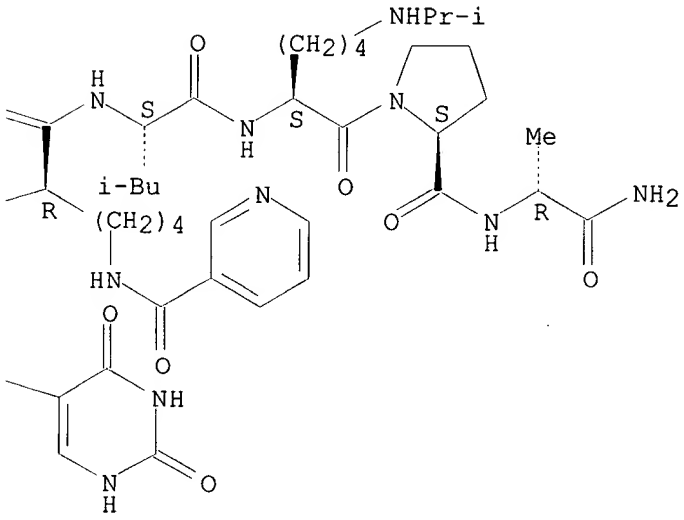
SEQ. 1 AFASKKLKPA
MF C81 H107 Cl N18 O16
SR CA
LC STN Files: CA, CAPLUS, TOXLIT

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



1 REFERENCES IN FILE CA (1967 TO DATE)
1 REFERENCES IN FILE CAPLUS (1967 TO DATE)

REFERENCE 1: 123:48054

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FILE COVERS 1967 - 25 Aug 1998 VOL 129 ISS 9
FILE LAST UPDATED: 25 Aug 1998 (980825/ED)

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=> d bib abs hitrn tot l36

L36 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 1998 ACS
AN 1997:461530 HCAPLUS
DN 127:66227
TI new LH-RH antagonists with improved action
IN Bernd, Michael; Kutscher, Bernhard; Beckers, Thomas; Klenner, Thomas
PA Asta Medica Ag, Germany
SO Ger. Offen., 21 pp.
CODEN: GWXXBX
PI DE 19544212 A1 970605
AI DE 95-19544212 951128
DT Patent
LA German
OS MARPAT 127:66227
AB LH-RH antagonists R1CONHCH(CONR2R3)(CH2)nNHCOR4 [n = 3, 4; R1 = (un)substituted alkyl, alkoxy, aryl, heteroaryl, aralkyl, heteroaralkyl, aralkyloxy, heteroaryloxy; R2, R3 = H or (un)substituted alkyl, aralkyl, heteroaralkyl; R4 = substituted carbamoylalkyl or diaza heterocyclyl] were prepd. Thus, Ac-D-Nal(2)-D(pCl)Phe-D-Pal(3)-Ser-Tyr-D-[.epsilon.-N'-(imidazolidin-2-on-4-yl)formyl]-Lys-Leu-Arg-Pro-D-Ala-NH2 [Nal(2) = 3-(2-naphthyl)alanyl, Pal(3) = 3-(3-pyridyl)alanyl] was prepd. by the solid phase method. The product had LH-RH receptor binding affinity of 0.306, vs. 0.202 for cetrorelix.
IT **191611-36-6P**
RL: BAC (Biological activity or effector, except adverse); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LH-RH antagonists with improved action)
IT **191611-38-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LH-RH antagonists with improved action)

L36 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 1998 ACS
AN 1997:168540 HCAPLUS
DN 126:152828
TI LHRH antagonist synthetic peptide analogs for use as cancer inhibitors, contraceptives, or other pharmaceuticals
IN Roeske, Roger W.
PA Indiana University Foundation, USA; Roeske, Roger W.

SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
PI WO 9640757 A2 961219
DS W: AU, CA, JP, US
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
AI WO 96-US9852 960607
PRAI US 95-480494 950607
DT Patent
LA English
OS MARPAT 126:152828
AB Many novel LH-releasing hormone(LHRH) antagonist peptide analogs or peptide mimetics, pharmaceutical compns. thereof, and methods of use thereof, are disclosed. The LHRH antagonist comprises a peptide compd., wherein a residue of the peptide compd. corresponding to the amino acid at position 6 of natural mammalian LHRH comprises a hydrophilic N-acyl moiety, a dipolar moiety, a sulfonium moiety, a receptor-modifying moiety or a small polar moiety. LHRH antagonist peptides are useful as inhibitors of sex hormone-dependent cancers (e.g., prostate cancer). LHRH antagonist peptides are also useful as contraceptive agents. The peptides can be used to treat other LHRH-related disorders as well, such as precocious puberty or premenstrual syndrome. The anti-ovulatory and histamine release activity of LHRH antagonists are compared. S.c. injections of LHRH antagonists suppressed plasma testosterone levels.
IT **186837-14-9P**
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(LHRH antagonist synthetic peptide analogs with pharmaceutical applications as cancer inhibitors or contraceptive agents)

L36 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 1998 ACS
AN 1995:503629 HCAPLUS
DN 123:48054
TI Antiovulatory antagonists of LHRH related to antide
AU Flouret, George; Arnold, Zdzislaw S.; Majewski, Tadeusz; Petousis, Nikolaos H.; Mahan, Kevin; Farooqui, Firdous; Blum, Katherine A.; Konopinska, Danuta; Natarajan, Swaminathan; Crich, David
CS Dep. Physiology, Northwestern Univ. Med. Sch., Chicago, IL, USA
SO J. Pept. Sci. (1995), 1(2), 89-105
CODEN: JPSIEI; ISSN: 1075-2617
DT Journal
LA English
AB The authors report 104 analogs of the potent antiovulatory antagonist of LHRH, N-Ac-D-Nal-D-Nal-D-Cpa-D-Pal-Ser-Lys(Nic)-D-Lys(Nic)-Leu-Llys-Pro-D-Ala-NH2, antide. The authors replaced the Nic group in Antide with other acyl substituents to modulate size, hydrophilicity or basicity of the mol., the authors also replaced the Lys residues with shorter basic amino acids, and made cyclic 5/6 analogs as well as position 5 or 6 dimers. The authors substituted Ilys8 with other alkyl groups and acyl derivs. When injected in 0.1% DMSO in water in a typical antiovulatory (AO) assay, Antide gives six rats ovulating out of eight (6/8) to 2 .mu.g, 4/8 at 4 .mu.g, and in the histamine release assay (HRA), ED50 is > 300 .mu.g/mL; [Lys(N-isobutyl)8]antide gave 2/8 at 2 .mu.g/rat; [Lys(8-Qis)5]Antide gave 1/8 at 1 .mu.g, and 0.8 at 2 .mu.g, and in the HRA ED50, 22 .mu.g/mL; [D-Lys(8-Qis)6]Antide gave 4/8 at 1 .mu.g

and 0/8 at 2 .mu.g, and in the HRA, ED50 was 100 - >300 .mu.g/mL; [Lys(2-Pyc)5, D-Lys(2-Pyc)6]Antide gave 2/8 at 1 .mu.g. The substitutions of the Nic groups of Antide at Lys5 or D-lys6 with 8-Qis or with 2-Pyc groups seem to give highly potent antiovulatory antagonists of LHRH and constitute significant new leads to generate potent antiovulatory compds. with moderate or low histamine release.

IT **164361-32-4P 164361-33-5P 164361-34-6P**
164361-35-7P 164361-57-3P 164361-58-4P
164457-56-1P
RL: BAC (Biological activity or effector, except adverse); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(LH-RH analogs prepn., antiovulatory and histamine-releasing activity and physicochem. properties)